Access DB# _____

405

# SEARCH REQUEST FORM

**Scientific and Technical Information Center** 58142

Requester's Full Name: PATEL SUDHAKER Examiner #: 77018 Date: 1/11/02
Art Unit: 1624 Phone Number 30 84709 Serial Number: 09839289
Mail Box and Bldg/Room Location: CM1 4E17 Results Format Preferred (circle): PAPER DISK E-MAIL
4E12
**If more than one search is submitted, please prioritize searches in order of need.** 1 2
**********

Please provide a detailed statement of the search topic, and describe as specifically as possible the subject matter to be searched. Include the elected species or structures, keywords, synonyms, acronyms, and registry numbers, and combine with the concept or utility of the invention. Define any terms that may have a special meaning. Give examples or relevant citations, authors, etc, if known. Please attach a copy of the cover sheet, pertinent claims, and abstract.

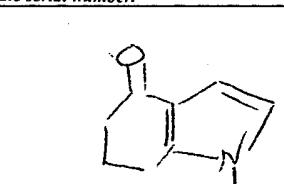
Title of Invention: SYNTHESIS & METHODS OF USE OF TETRAHYDROINDOLONE ANALOGUES & DERIVATIVES

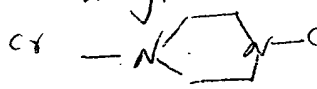
Inventors (please provide full names): DAVID B. FICK et al

Earliest Priority Filing Date: 4/20/2001

Point of Contact:
Susan Hanley
Technical Info. Specialist
CM1 12C14 Tel: 305-4053

**For Sequence Searches Only* Please include all pertinent information (parent, child, divisional, or issued patent numbers) along with the appropriate serial number.*



X = OH or $NH_2$
or $-N(Alkyl)-(CH_2)_6-CO_2H$
or 
or $-CH(-(CH_2)_{2-6}-N<$ open or closed

L = alkyl $C_{1-6}$ or cyclo alkyl

Need info @ cpds & method of use as NOOTROPIC BIOLOGICAL ACTIVITY for treating Alzheimer's disease multiple sclerosis, stroke etc.
Copy of claims enclosed.
THX
1624

RECEIVED JAN 14 2002 TECH CENTER 1600/2900

**BEST AVAILABLE COPY**

**********

**STAFF USE ONLY**

Searcher: RUHL/HANLEY
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Searcher Location: _____
Date Searcher Picked Up: 1/14/02
Date Completed: 1/16/02
Searcher Prep & Review Time: _____
Clerical Prep Time: _____
Online Time: _____

**Type of Search**

NA Sequence (#) _____
AA Sequence (#) _____
Structure (#) _____
Bibliographic _____
Litigation _____
Fulltext _____
Patent Family _____
Other _____

**Vendors and cost where applicable**

STN _____
Dialog _____
Questel/Orbit _____
Dr.Link _____
Lexis/Nexis _____
Sequence Systems _____
WWW/Internet _____
Other (specify) _____

PTO-1590 (8-01)

=> d all

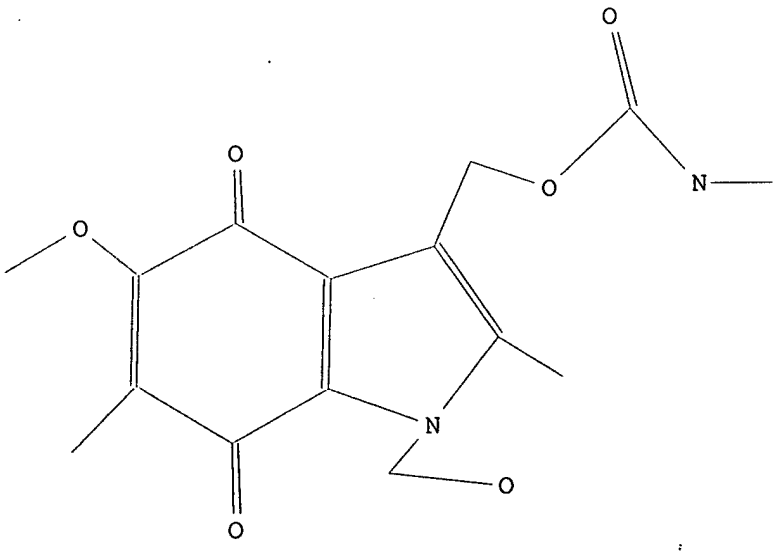
L28 ANSWER 1 OF 1 COPYRIGHT 2002 BEILSTEIN CDS MDLI

| | |
|---|---|
| Beilstein Reg. No. (BRN): | 1505538 Beilstein |
| Molecular Formula (MF): | C15 H18 N2 O6 |
| Autonom Name (AUN): | methyl-carbamic acid 1-hydroxymethyl-5-methoxy-2,6-dimethyl-4,7-dioxo-4,7-dihydro-1H-indol-3-ylmethyl ester |
| Beilstein Reference (SO): | 5-21 |
| CAS Reg. No. (RN): | 5904-30-3 |
| Beilstein Pref. RN (BPR): | 5904-30-3 |
| Formula Weight (FW): | 322.32 |
| Lawson Number (LN): | 26215; 2817; 1762; 689; 289 |

Ring System Data:

| | |
|---|---|
| Number of Rings (CNR): | 2 |
| Ring Systems (CNRS): | 1 |
| Diff. Ring Systems (CNDRS): | 1 |
| Ring Heteros (CNRH): | 1 |
| Acyclic Heteros (CNAH): | 7 |

| Beilstein Ring Index (BRIX) | Ring System Formula (RF) | BRIX Count |
|---|---|---|
| 9.2.5-1.2-3.10 | C8N | 1 |



Preparation:
PRE

Reference(s):
1. Patent: Amer. Cyanamid Co., BE 653057 1963
   Chem. Abstr., 64, <1966>, 15845e

Melting Point:

| Value (MP) (Cel) | Ref. |
|---|---|
| 153.00 - 154.00 | 1 |

Reference(s):

1. Patent: Amer. Cyanamid Co., BE 653057 1963
   Chem. Abstr., 64, <1966>, 15845e

=> d all

L24 ANSWER 1 OF 3 COPYRIGHT 2002 BEILSTEIN CDS MDLI

| | |
|---|---|
| Beilstein Reg. No. (BRN): | 1553376 Beilstein |
| Molecular Formula (MF): | C16 H20 N2 O6 |
| Chemical Name (CN): | 1-(2-hydroxy-ethyl)-5-methoxy-2,6-dimethyl-3-(methylcarbamoyloxy-methyl)-indole-4,7-dione |
| Autonom Name (AUN): | methyl-carbamic acid 1-(2-hydroxy-ethyl)-5-methoxy-2,6-dimethyl-4,7-dioxo-4,7-dihydro-1H-indol-3-ylmethyl ester |
| Beilstein Reference (SO): | 5-21-13-00464 |
| CAS Reg. No. (RN): | 10087-98-6 |
| Beilstein Pref. RN (BPR): | 10087-98-6 |
| Formula Weight (FW): | 336.34 |
| Lawson Number (LN): | 26215; 3122; 2817; 1762; 289 |

Ring System Data:

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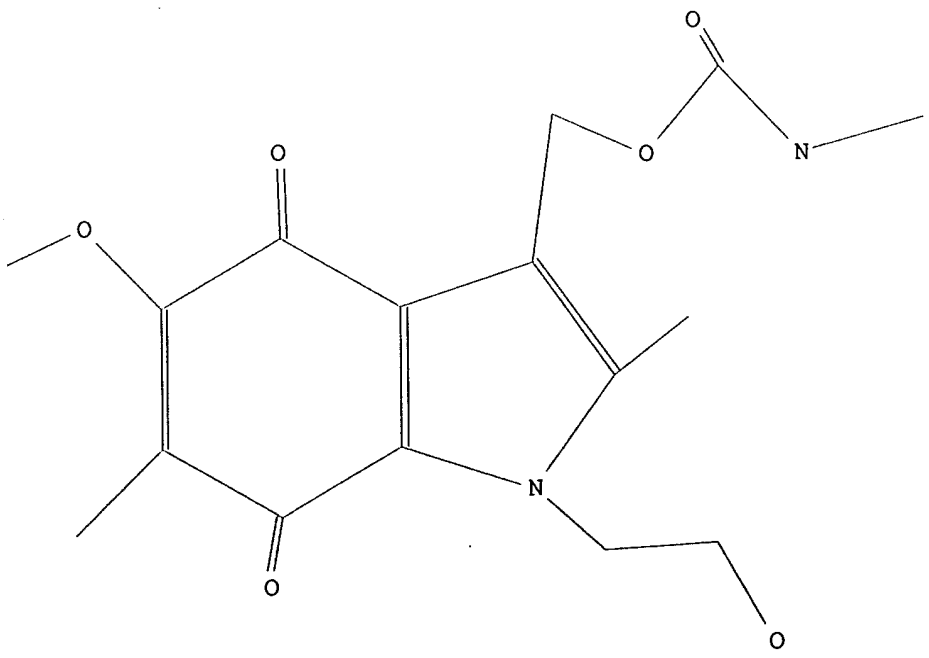
| Ring Systems (CNRS): | 1 |
| Diff. Ring Systems (CNDRS): | 1 |
| Ring Heteros (CNRH): | 1 |
| Acyclic Heteros (CNAH): | 7 |

| Beilstein Ring Index (BRIX) | Ring System Formula (RF) | BRIX Count |
|---|---|---|
| 9.2.5-1.2-3.10 | C8N | 1 |



Preparation:
PRE
Reference(s):
1. Allen; Weiss, J.Med.Chem., 10 <1967>, 23,29, CODEN: JMCMAR
2. Patent: American Cyanamid Co., US 3265698 1963
Chem. Abstr., 65, <1966>, 15330c

Melting Point:

| Value (MP) (Cel) | Solv. (.SOL) | Ref. |
|---|---|---|
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| 153.00 - 154.00 | | 2 |

Reference(s):
1. Allen; Weiss, J.Med.Chem., 10 <1967>, 23,29, CODEN: JMCMAR
2. Patent: American Cyanamid Co., US 3265698 1963
Chem. Abstr., 65, <1966>, 15330c

Infrared Maximum:
IRM
Reference(s):
1. Allen; Weiss, J.Med.Chem., 10 <1967>, 23,29, CODEN: JMCMAR

Electronic Absorption Maximum:
EAM
Reference(s):
1. Allen; Weiss, J.Med.Chem., 10 <1967>, 23,29, CODEN: JMCMAR

CTUNCH Unchecked Data: NMR
Reference(s):
1. Allen; Weiss, J.Med.Chem., 10 <1967>, 23,29, CODEN: JMCMAR

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L2                SCREEN 1839 AND 1994 AND 2004
L3                SCREEN 2026 OR 2016 OR 2021 OR 1938
L4              0 S L1 AND L2 NOT L3

     FILE 'REGISTRY' ENTERED AT 10:09:58 ON 16 JAN 2002
L5              0 S L1 AND L2 NOT L3
L6                STR L1
L7                STR L1
L8             50 S L7
L9           1711 S L7 FULL
                  SAVE L9 SUD289P/A
L10               STR L1
L11               STR L10
L12             2 S L11 SSS SAM SUB=L9
L13            29 S L11 SSS FUL SUB=L9
                  SAVE L13 SUD289/A
L14               STR L11
L15            19 S L14 SSS FUL SUB=L13
                  SAVE L15 SUD289A/A
L16            10 S L13 NOT L15

     FILE 'HCAPLUS' ENTERED AT 11:53:51 ON 16 JAN 2002
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L18             5 S L16

     FILE 'CAOLD' ENTERED AT 12:00:23 ON 16 JAN 2002
L19             0 S L15

     FILE 'BEILSTEIN' ENTERED AT 12:00:55 ON 16 JAN 2002
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L21            19 S L20/COM
L22            16 S L15
L23             3 S L21 NOT L22
L24             3 S L23 AND PRE/FA
L25           420 S WEISS?/AU AND ALLEN?/AU AND PY=1967
L26             2 S L24 AND L25
L27             1 S L24 NOT L26
L28             1 S L27 AND PRE/FA
```

first subset created

second subset created

19 compds. meet claims

10 compds do not meet claims – have terminal carboxy

11 cits from L15 compds.

5 cits from L16 compds.

no citations

22 compds. from L14

19 compds/cmds

only 1st compd displayed due to high display cost

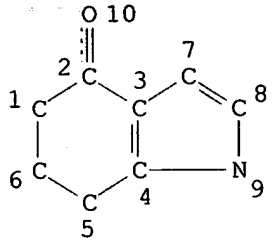
one compd. displayed

Structure for HCAPLUS & CAOLD



=> d que l17

L17 STR 1st subset created is based on this str.



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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 10

STEREO ATTRIBUTES: NONE
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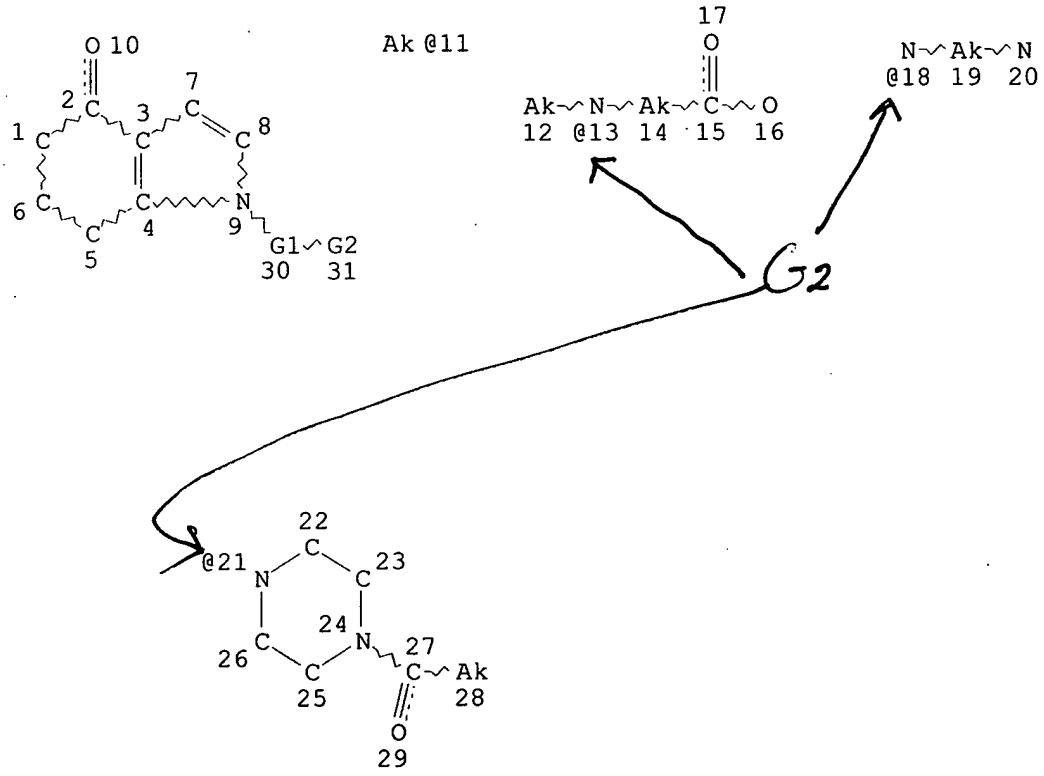
L11 STR 2nd subset str.



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VAR G2=OH/NH2/13/18/21
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
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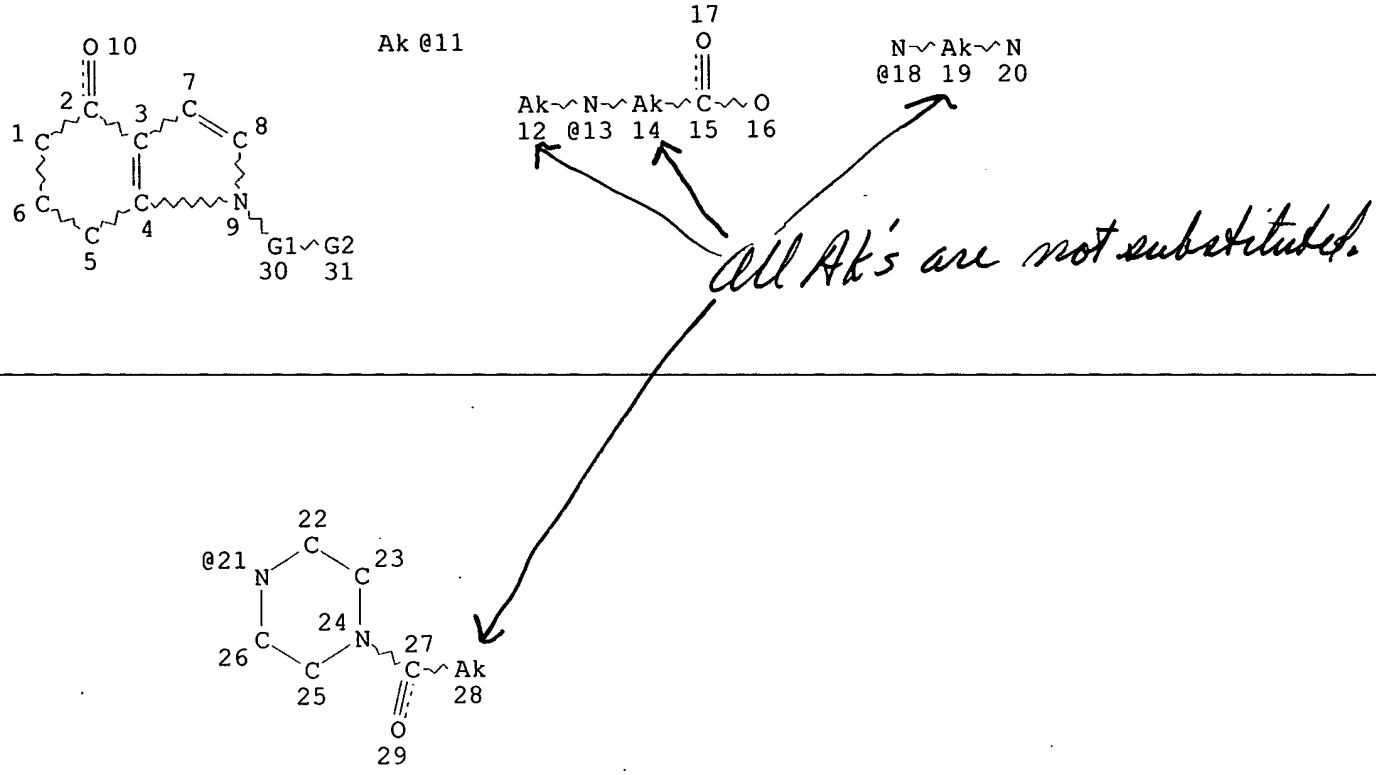
STEREO ATTRIBUTES: NONE
L13 29 SEA FILE=REGISTRY SUB=L9 SSS FUL L11
L14 STR

Final subset search



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CONNECT IS E1 RC AT 12
CONNECT IS E2 RC AT 14
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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE
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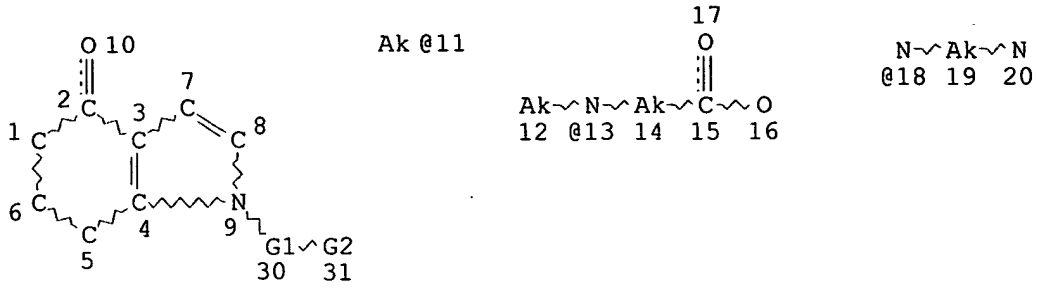
L17 11 SEA FILE=HCAPLUS L15

=> d que l20
L14 STR

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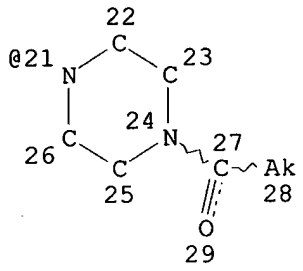


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VAR G2=OH/NH2/13/18/21
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DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
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NUMBER OF NODES IS 31

STEREO ATTRIBUTES: NONE
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L17 ANSWER 1 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:479331 HCAPLUS
DOCUMENT NUMBER: 127:99527
TITLE: Oxidative hair dye compositions containing n-substituted 4-hydroxy indoline derivatives
INVENTOR(S): Terranova, Eric; Fadli, Aziz; Lagrange, Alain
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

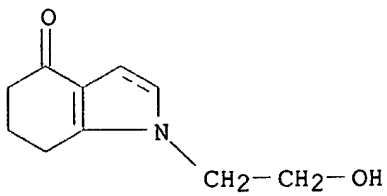
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 780118 | A1 | 19970625 | EP 1996-402297 | 19961029 |
| EP 780118 | B1 | 19971229 | | |
| R: DE, ES, FR, GB, IT | | | | |
| FR 2742047 | A1 | 19970613 | FR 1995-14372 | 19951206 |
| FR 2742047 | B1 | 19980116 | | |
| CN 1189820 | A | 19980805 | CN 1996-195180 | 19960626 |
| ES 2113769 | T3 | 19980501 | ES 1996-402297 | 19961029 |
| JP 09183716 | A2 | 19970715 | JP 1996-325758 | 19961205 |
| JP 2996625 | B2 | 20000111 | | |
| US 5755829 | A | 19980526 | US 1996-761756 | 19961205 |
| US 6002018 | A | 19991214 | US 1998-14622 | 19980128 |

PRIORITY APPLN. INFO.: FR 1995-14372 A 19951206
US 1996-761756 A3 19961205
OTHER SOURCE(S): MARPAT 127:99527

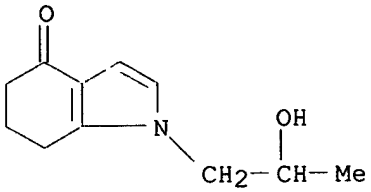
AB Oxidative hair dye compns. contain n-substituted 4-hydroxy indoline derivs. (Markush structure given). A soln. of 8.85 g 4-hydroxy-1-N-(.beta.-hydroxyethyl)indole (prepn. given) in 40 mL of acetic acid was stirred with 1.9 g of sodium cyanoborohydride at 30.degree. for 30 min, then the reaction mixt. was poured into 200 g water at pH = 7.5 and the ppt. thus obtained was filtered and dried to obtain 7.75 g 4-hydroxy-1-N-(.beta.-hydroxyethyl)indoline (I). A hair dye prepn. contained I 0.895, paraphenylenediamine 0.540, water and excipient q.s. 100 g. The hair dye prepn. is mixed with equal amt. of 20 vol. hydrogen peroxide and applied to the hair.

IT **186963-73-5P 186963-74-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(oxidative hair dye compns. contg. n-substituted 4-hydroxy indoline derivs.)

RN 186963-73-5 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 186963-74-6 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxypropyl)- (9CI) (CA INDEX NAME)

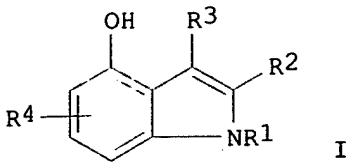


L17 ANSWER 2 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:168570 HCAPLUS
DOCUMENT NUMBER: 126:185981
TITLE: Preparation of N-hydroxyalkyl-4-hydroxyindoles as oxidative hair dye components
INVENTOR(S): Terranova, Eric; Fadli, Aziz; Lagrange, Alain
PATENT ASSIGNEE(S): L'Oreal S. A., Fr.
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 754681 | A1 | 19970122 | EP 1996-401413 | 19960626 |
| EP 754681 | B1 | 19980304 | | |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE | | | | |
| FR 2736640 | A1 | 19970117 | FR 1995-8566 | 19950713 |
| FR 2736640 | B1 | 19970822 | | |
| CA 2222312 | AA | 19970130 | CA 1996-2222312 | 19960626 |
| WO 9703049 | A1 | 19970130 | WO 1996-FR996 | 19960626 |
| W: BR, CA, CN, HU, JP, KR, MX, PL, RU | | | | |
| AT 163640 | E | 19980315 | AT 1996-401413 | 19960626 |
| ES 2117474 | T3 | 19980801 | ES 1996-401413 | 19960626 |
| JP 10512282 | T2 | 19981124 | JP 1996-505543 | 19960626 |
| BR 9609329 | A | 19990525 | BR 1996-9329 | 19960626 |
| JP 3095419 | B2 | 20001003 | JP 1997-505543 | 19960626 |
| US 5704948 | A | 19980106 | US 1996-678981 | 19960712 |
| US 5869692 | A | 19990209 | US 1997-932468 | 19970918 |

PRIORITY APPLN. INFO.: FR 1995-8566 A 19950713
WO 1996-FR996 W 19960626
US 1996-678981 A3 19960712

OTHER SOURCE(S): MARPAT 126:185981
GI

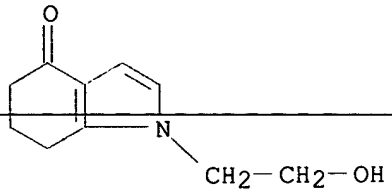


I

AB Title compds. (I; R1 = hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.; R2,R3 = H, halo, alkyl, CO2H, alkoxycarbonyl, CHO; R4 = H, halo, alkyl, alkoxy, etc.) were prepd. Thus, 4-oxo-4,5,6,7-tetrahydrobenzofuran was cyclocondensed with H2NCH2CH2OH and the product dehydrogenated to give I (R1 = CH2CH2OH, R2-R4 = H). Data for activity of I were given.

IT **186963-73-5P 186963-74-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-hydroxyalkyl-4-hydroxyindoles as oxidative hair dye components)

RN 186963-73-5 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)- (9CI) (CA INDEX NAME)



RN 186963-74-6 HCAPLUS
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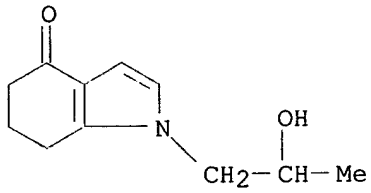
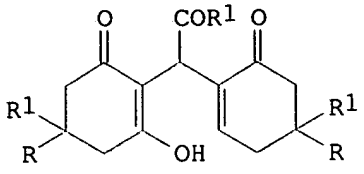


L17 ANSWER 3 OF 11 HCAPLUS COPYRIGHT 2002 ACS

| | |
|---|---|
| ACCESSION NUMBER: | 1989:594507 HCAPLUS |
| DOCUMENT NUMBER: | 111:194507 |
| TITLE: | Synthesis and oral hypoglycemic properties of 3-(1-oxo-3-hydroxy-2-cyclohexen-2-yl)-4-oxo-4,5,6,7-tetrahydroindoles |
| AUTHOR(S): | Nagarajan, Kuppuswamy; Shenoy, Sharada J.; Talwalker, Purnachandra K. |
| CORPORATE SOURCE: | Res. Cent., Hindustan Ciba-Geigy Ltd., Bombay, 400 063, India |
| SOURCE: | Indian J. Chem., Sect. B (1989), 28B(4), 326-32<br>CODEN: IJSBDB; ISSN: 0376-4699 |
| DOCUMENT TYPE: | Journal |
| LANGUAGE: | English |
| OTHER SOURCE(S): | CASREACT 111:194507 |

GI

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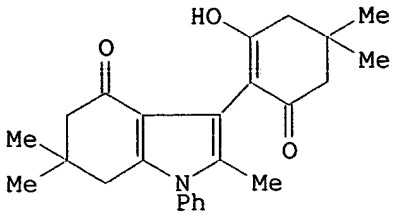
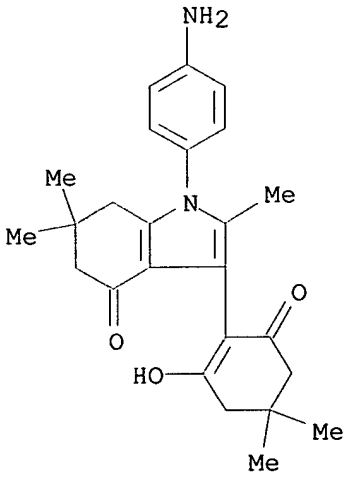



II

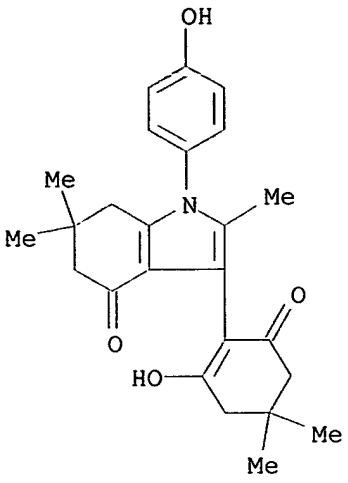
AB Reaction of cyclohexane-1,3-diones with glyoxal, methylglyoxal, or phenylglyoxal afford bis -derivs. I (R, R1 = H, Me; R2 = H, Me, Ph) which are transformed to the title compds. by condensation with amines. Several of these are found to have activity in the fasted, glucose-primed rats. While many are as potent as tolbutamide, the activity of some is comparable to that of glybenclamide. Structure-activity relationships are discussed. Among these, cyclohexenylindole II has been chosen for further development.

IT **123271-86-3P 123271-89-6P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and hypoglycemic activity of)

RN 123271-86-3 HCAPLUS
CN 4H-Indol-4-one, 1-(4-aminophenyl)-1,5,6,7-tetrahydro-3-(2-hydroxy-4,4-dimethyl-6-oxo-1-cyclohexen-1-yl)-2,6,6-trimethyl- (9CI) (CA INDEX NAME)

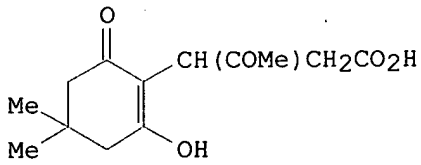



RN 123271-89-6 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-3-(2-hydroxy-4,4-dimethyl-6-oxo-1-cyclohexen-1-yl)-1-(4-hydroxyphenyl)-2,6,6-trimethyl- (9CI) (CA INDEX NAME)



L17 ANSWER 4 OF 11 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1989:457475 HCAPLUS
DOCUMENT NUMBER: 111:57475
TITLE: Synthesis and oral hypoglycemic properties of 4-oxo-4,5,6,7-tetrahydroindole-3-acetic acids
AUTHOR(S): Nagarajan, Kuppuswamy; Talwalker, Purnachand K.; Goud, A. Nagana; Shah, Rashmi K.; Shenoy, Sharada J.; Desai, Narasimha D.
CORPORATE SOURCE: Res. Cent., Hindustan Ciba-Geigy Ltd., Bombay, 400 063, India
SOURCE: Indian J. Chem., Sect. B (1988), 27B(12), 1113-23
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:57475
GI



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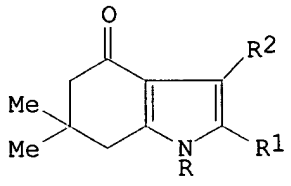

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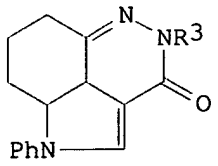
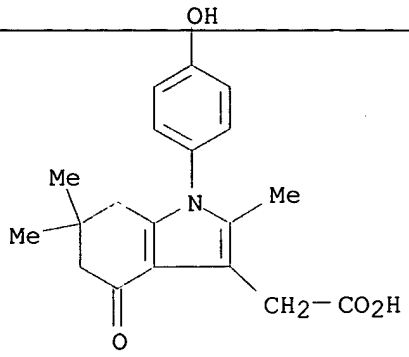


III

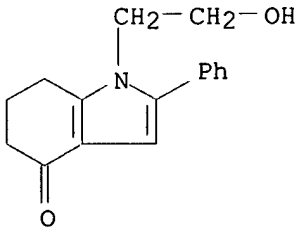
AB Condensation of .beta.-acetyl-2-hydroxy-4,4-dimethyl-6-oxo-1-cyclohexene-1-propionic acid (I) with NH4OAc and primary amines affords tetrahydroindole-3-acetic acids II (R = alkyl, aryl, aralkyl; R1 = Me, R2 = CH2CO2H), while another dimedone deriv. serves as starting material for isomeric indole-2-acetic acids II (R = alkyl, R1 = CH2CO2H, R2 = H).

4-Oxotetrahydroindole-2-carboxylic acids II (R = Ph, CH2CHMe2, R1 = CO2H, R2 = Me) and 3-carboxylic acids II (R = Ph, 4-FC6H4, R1 = H, R2 = CO2H), are obtained from the corresponding benzofurans. Some of the 3-carboxylic acid esters are transformed to tricyclic compds. like III [R3 = H, Me2N(CH2)3, Et2NCH2CH2]. Good oral hypoglycemic activity in normal rats is shown generally by the 3-acetic acids, among which C 8778-GO and C 9001-GO (II, R = Bu, CH2CHMe2, R1 = Me, R2 = CH2CO2H) are most active. These two acids are also active in streptozotocin-induced diabetic rats and have been investigated extensively. Structure-activity relationships are discussed.

IT **121626-09-3P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and hypoglycemic activity of)
RN 121626-09-3 HCAPLUS
CN 1H-Indole-3-acetic acid, 4,5,6,7-tetrahydro-1-(4-hydroxyphenyl)-2,6,6-trimethyl-4-oxo- (9CI) (CA INDEX NAME)

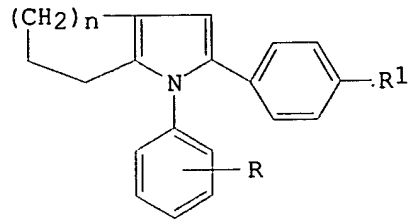



IT **39991-82-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 39991-82-7 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)




L17 ANSWER 5 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1985:437321 HCAPLUS
DOCUMENT NUMBER: 103:37321
TITLE: Antiimplantation agents: part III - 1,2-diaryl-4,5-polymethylenepyrroles and 1,2-diaryl-4-oxo- and 1,2-diaryl-4-hydroxy-4,5,6,7-tetrahydroindoles

AUTHOR(S): Nagarajan, K.; Talwalker, P. K.; Shah, R. K.; Mehta, S. R.; Nayak, G. V.
CORPORATE SOURCE: Res. Cent., Hindustan CIBA-GEIGY Ltd., Bombay, 400 063, India
SOURCE: Indian J. Chem., Sect. B (1985), 24B(1), 98-111
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 103:37321
GI

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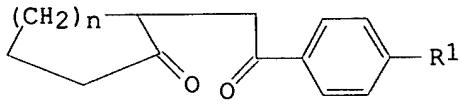
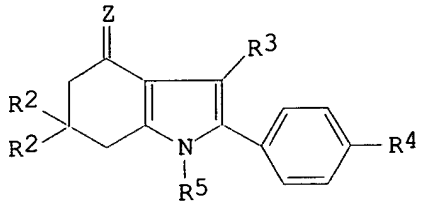



II

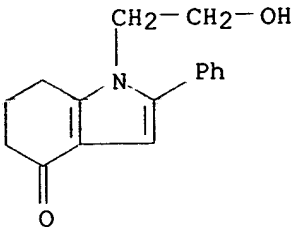



III

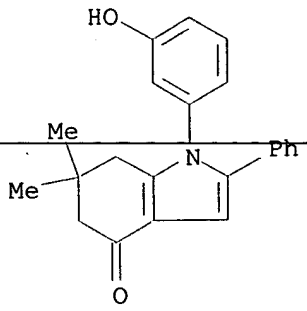
AB Diarylpolymethylenepyrroles I (n = 1-3; R = Cl, OH, F, OMe; R1 = H, Cl) were prepd. by cyclocondensation of phenacylcycloalkanones II with RC6H4NH2. Alkylation of phenols I (R = OH) gave ethers I (R = pyrrolidinoethoxy, piperidinoethoxy, morpholinoethoxy, Et2NCH2CH2O, etc.). Similarly prepd. were tetrahydroindoles III [R2, R3 = H, Me; R4 = H, Br, Cl, F, NO2, OMe, etc.; R5 = (substituted) Ph, pyridyl, HOCH2CH2, morpholinpropyl, chlorobenzyl, cyclohexyl; Z = O], and some III (Z = O) were reduced to give III (Z = H, OH). Several compds. exhibited antiimplantation activity in rats, among which the following were effective at a dose of 10 mg/kg orally for 6 days or less: ethers I [n = 2, R = 4-Me2N(CH2)3O, 4-piperidinopropoxy, R1 = H; n = 3, R = 4-pyrrolidinoethoxy, R1 = H (IV)], oxoindoles III (R2 = Me; R3, R4 = H; R5 = 2-, 4-FC6H4, 4-pyrrolidinoethoxyphenyl, 4-NH2NHC6H4; Z = O), hydroxyindoles III [R2 = Me, R3, R4 = H, R5 = H, 4-FC6H4 (C 6924-Go); R2, R3 = Me, R4 = H, R5 = 4-FC6H4; Z = H, OH], and the deoxy deriv. III (R2-R5 = Me, H, H, 4-FC6H4, Z = H2) (V). Compds. IV and V (min. ED100 = 1 mg) and C 6924-Go (min. ED100 = 2 mg) showed no dissocn. between antiimplantation and estrogenic activities. Detailed studies on C 6924-Go showed that its activity is related to weak estrogenic-antiestrogenic properties. Structure-activity relationships were discussed.

IT **39991-82-7P 68638-92-6P 96757-50-5P 96757-51-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and contraceptive activity of)

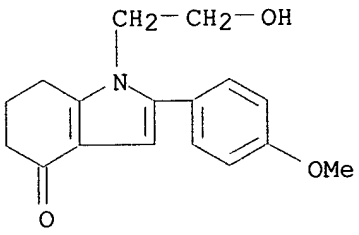
RN 39991-82-7 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)



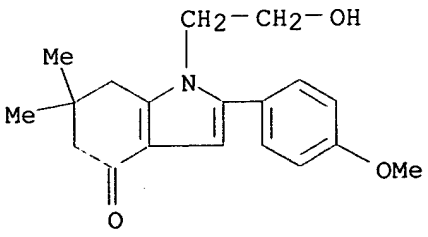
RN 68638-92-6 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(3-hydroxyphenyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



RN 96757-50-5 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

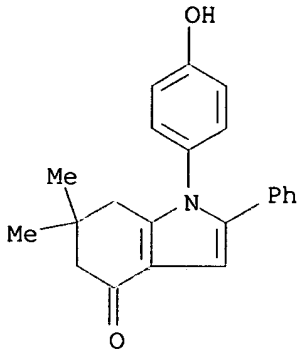


RN 96757-51-6 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-2-(4-methoxyphenyl)-6,6-dimethyl- (9CI) (CA INDEX NAME)

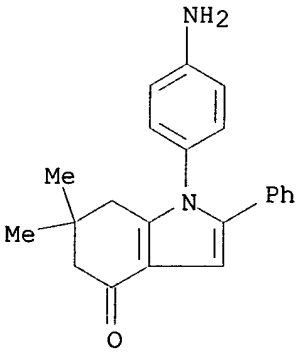


IT **96757-31-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn., alkylation, and contraceptive activity of)
RN 96757-31-2 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(4-hydroxyphenyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)




IT **96757-34-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn., diazotization, and contraceptive activity of)
RN 96757-34-5 HCAPLUS
CN 4H-Indol-4-one, 1-(4-aminophenyl)-1,5,6,7-tetrahydro-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

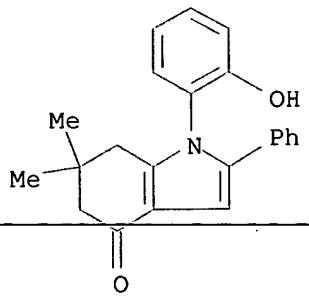



L17 ANSWER 6 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1980:75384 HCAPLUS
DOCUMENT NUMBER: 92:75384
TITLE: Mass spectral fragmentations diagnostic of 1,2-diaryl-6,6-dimethyl-4-oxo-4,5,6,7-tetrahydroindoles
AUTHOR(S): Ramadas, S. R.; Ramana, D. V.; Padmanabhan, S.
CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Madras, 600036, India
SOURCE: Indian J. Chem., Sect. B (1978), 16B(12), 1119-21
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The mol. ion (M+) peak is the base peak in all of the title spectra. M+ Undergoes retro-Diels-Alder fragmentation, followed by extensive

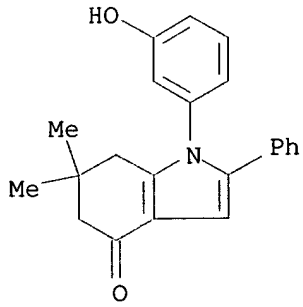
rearrangement to give cyclic ions with concomitant loss of CO or at. H. The pyrrole moiety in M+ decomps. to aryl isocyanide ions in another diagnostic path.

IT **68638-91-5 68638-92-6 68638-96-0 68638-99-3**
RL: PRP (Properties)
(mass spectrum of)

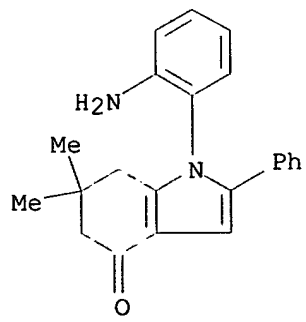
RN 68638-91-5 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyphenyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



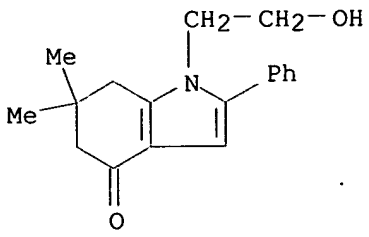

RN 68638-92-6 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(3-hydroxyphenyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



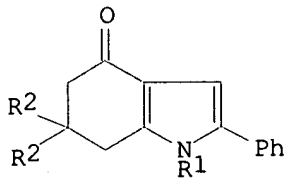

RN 68638-96-0 HCAPLUS
CN 4H-Indol-4-one, 1-(2-aminophenyl)-1,5,6,7-tetrahydro-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



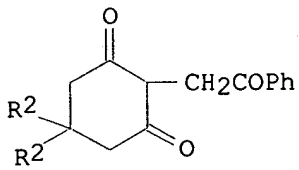
RN 68638-99-3 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



L17 ANSWER 7 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1979:22730 HCAPLUS
DOCUMENT NUMBER: 90:22730
TITLE: Studies on synthesis, chemical and spectroscopic properties of 4-ketotetrahydroindole derivatives
AUTHOR(S): Ramadas, S. R.; Padmanabhan, S.
CORPORATE SOURCE: Dep. Chem., Indian Inst. Technol., Madras, India
SOURCE: J. Prakt. Chem. (1978), 320(5), 863-72
CODEN: JPCEAO; ISSN: 0021-8383
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

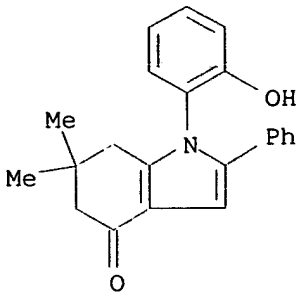


II

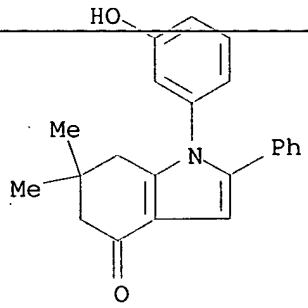
AB Oxotetrahydroindoles I (R1 = Ph, MeOC6H4, m- and p-NO2C6H4, o- and m-HOC6H4, and m- and p-HO2CC6H4, p-EtO2CC6H4, p-tolyl, o-H2NC6H4, benzyl, HOCH2CH2, 2-naphthyl, 6-quinolyl; R2 = H, Me) were prepd. in 62-95% yield by reaction of the phenacyldimedone II with R1NH2. The IR, UV and NMR data for I were tabulated.

IT **68638-91-5P 68638-92-6P 68638-96-0P 68638-99-3P**
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and spectra of)

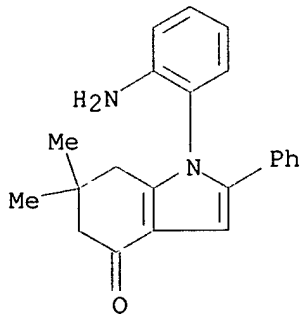
RN 68638-91-5 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyphenyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



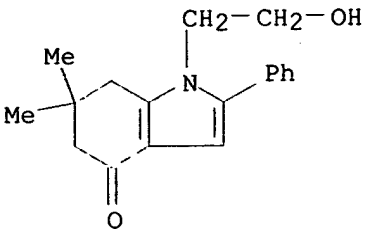

RN 68638-92-6 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(3-hydroxyphenyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)



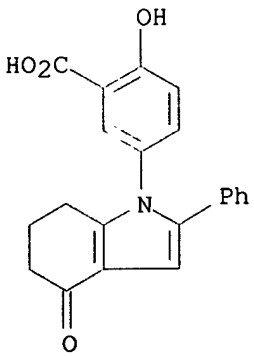

RN 68638-96-0 HCAPLUS
CN 4H-Indol-4-one, 1-(2-aminophenyl)-1,5,6,7-tetrahydro-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)




RN 68638-99-3 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-6,6-dimethyl-2-phenyl- (9CI) (CA INDEX NAME)

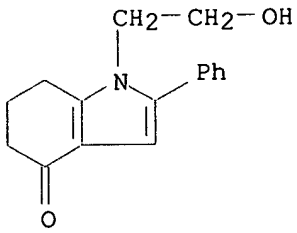


L17 ANSWER 8 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1976:69246 HCAPLUS
DOCUMENT NUMBER: 84:69246
TITLE: Carboxyarylindoles as nonsteroidal antiinflammatory agents
AUTHOR(S): Anderson, V. Brian; Agnew, Marc N.; Allen, Richard C.; Wilker, Jeffrey C.; Lassman, Howard B.; Novick, William J., Jr.
CORPORATE SOURCE: Chem. Res. Dep., Hoechst-Roussel Pharm. Inc., Somerville, N. J., USA
SOURCE: J. Med. Chem. (1976), 19(2), 318-25
CODEN: JMCMAR
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Of 52 title compds., prepd. by condensation of an .alpha.-halo ketone with an enamine and cyclic condensation of the resulting 1,4-diketone with an aniline deriv., 34 had significant antiinflammatory activity and 5, including 3-(3-carboxy-4-hydroxyphenyl)-2-phenyl-4,5-dihydro-3H-benz[e]indole (I) [53597-27-6], were comparable to aspirin [50-78-2] in the carrageenin rat paw edema assay. Structure-activity relations were discussed.
IT **57859-78-6P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and inflammation inhibiting activity of)
RN 57859-78-6 HCAPLUS
CN Benzoic acid, 2-hydroxy-5-(4,5,6,7-tetrahydro-4-oxo-2-phenyl-1H-indol-1-yl)- (9CI) (CA INDEX NAME)



L17 ANSWER 9 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:70733 HCAPLUS

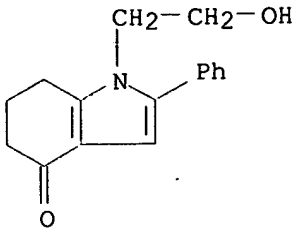
DOCUMENT NUMBER: 80:70733
TITLE: Reactivity of 4-oxo-4,5,6,7-tetrahydrobenzofurans. IV. Formation of 4,5-dihydropyrro[2,3-c]acridine derivatives. Laboratory note
AUTHOR(S): Takagi, Kaname; Kobayashi, Noriaki; Ueda, Takeo
CORPORATE SOURCE: Fac. Pharm., Univ. Kitasato, Tokyo, Japan
SOURCE: Bull. Soc. Chim. Fr. (1973), (9-10, Pt. 2), 2807-9
CODEN: BSCFAS
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB The pyrroloacridines I (R = H, Me, Et, CH2CH2OH, Ph, 2-naphthyl, C6H4OMe-p; R1 = Me, Ph) were prepd. by cyclizing 2-benzoylmethyl-4,5-dihydroresorcinol with RNH2 to give the indolones II (X = O), which were reduced with N2H4 to II (X = H2) and cyclized with o-R1COC6H4NH2.
IT **39991-82-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 39991-82-7 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)



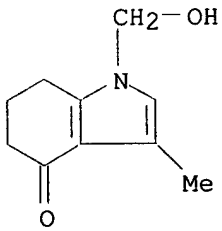

L17 ANSWER 10 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1973:71906 HCAPLUS
DOCUMENT NUMBER: 78:71906
TITLE: Substituted 2-phenyl-4,5,6,7-tetrahydroindoles
INVENTOR(S): Luecke, Bernhard; Lehman, Gerhard
SOURCE: Ger. (East), 3 pp.
CODEN: GEXXA8
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| DD 86826 | | 19720105 | DD 1970-145212 | 19700130 |

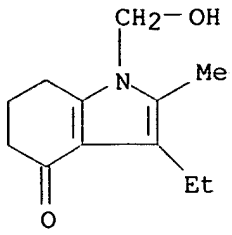
GI For diagram(s), see printed CA Issue.
AB Tetrahydroindolones I (R = H, OH; R1 = H, OMe) were prepd. in 52-84% yield by treating the corresponding 2-phenacyl-1,3-cyclohexanedione with RCH2CH2NH2. I (R = OH, R1 = H) was reduced with NaBH4 to give 78% of the 4-hydroxy deriv.
IT **39991-82-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 39991-82-7 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(2-hydroxyethyl)-2-phenyl- (9CI) (CA INDEX NAME)



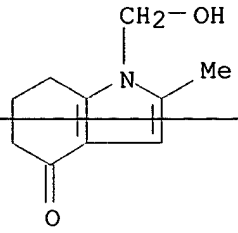
L17 ANSWER 11 OF 11 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1972:434240 HCAPLUS
DOCUMENT NUMBER: 77:34240
TITLE: Reaction of pyrrole ketones with formaldehyde. Formation of N-pyrrolemethanols
AUTHOR(S): Berger, Joel G.; Schoen, Karl
CORPORATE SOURCE: Endo Lab., Inc., Garden City, N. Y., USA
SOURCE: J. Heterocycl. Chem. (1972), 9(2), 419-21
CODEN: JHTCAD
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB N-Pyrrolemethanols are prepd. by heating 3-acylpyrroles with formaldehyde in the presence of NaOH. 3-Acetyl-2,5-dimethylpyrrole (I) is converted to the corresponding N-pyrrolemethanol (II), while 2,3-disubstituted 4-oxo-4,5,6,7-tetrahydroindole-1-methanols (III) are obtained from the corresponding indoles (IV). 3 III, which can contain a 2-Me and a 3-Me or 3-Et group are prepd. Similarly prepd. is 2-ethyl-3-methyl-6-oxo-4,5-dihydro-6H - cyclopenta[b]pyrrole - 1-methanol.
IT **36764-23-5P 36784-83-5P 36827-21-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 36764-23-5 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(hydroxymethyl)-3-methyl- (9CI) (CA INDEX NAME)



RN 36784-83-5 HCAPLUS
CN 4H-Indol-4-one, 3-ethyl-1,5,6,7-tetrahydro-1-(hydroxymethyl)-2-methyl- (9CI) (CA INDEX NAME)



RN 36827-21-1 HCAPLUS
CN 4H-Indol-4-one, 1,5,6,7-tetrahydro-1-(hydroxymethyl)-2-methyl- (9CI) (CA INDEX NAME)



=> d ibib abs hitstr

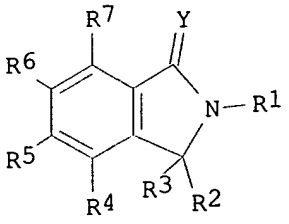
L18 ANSWER 1 OF 5 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:762968 HCAPLUS
DOCUMENT NUMBER: 135:304105
TITLE: Preparation of nucleosides and isoindolinone derivatives as anti-inflammatory agents
INVENTOR(S): Japtap, Prakash; Southan, Garry; Salzman, Andrew; Szabo, Csaba; Ram, Siya
PATENT ASSIGNEE(S): Inotek Corporation, USA
SOURCE: PCT Int. Appl., 45 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| WO 2001077075 | A2 | 20011018 | WO 2001-US11288 | 20010406 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2000-195622 P 20000406
US 2001-766053 A2 20010119

OTHER SOURCE(S): MARPAT 135:304105
GI



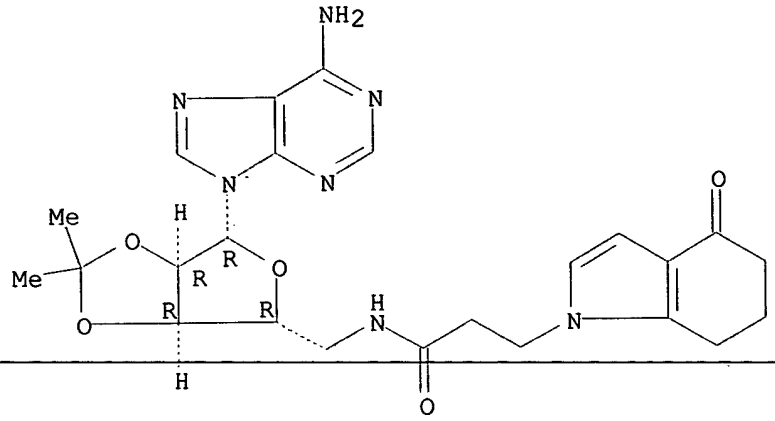

I

AB Substituted nucleosides and isoindolinone derivs. I wherein Y is O, OH, S, Se, NH, N-alkyl, N-aryl; R1 is H, OH, aryl, alkyl, amino acid; R2 and R3 are independently H, alkyl, aryl, heterocycle, OH, O-alkyl, O-aryl, N-alkyl, N-aryl, taken together O, NH, S; R4-R7 are independently H, halo, alkyl-halo, OH, alkoxy, alkyl, alkenyl, carbocyclic, aryl, amino, carboxy, ester, arylalkyl, nitro; R3R4 are heterocyclic, carbocyclic ring; were prepd. as anti-inflammatory agents. Thus, isoindolinone I (Y = O, R1-R3 = R5-R7 = H, R4 = NO2) was prepd. and tested in vitro for its anti-inflammatory activity (% inhibition = 12 .mu.M).

IT **366454-42-4P 366454-43-5P 366454-45-7P**
RL: BAC (Biological activity or effector, except adverse); IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of nucleosides and isoindolinone derivs. as anti-inflammatory

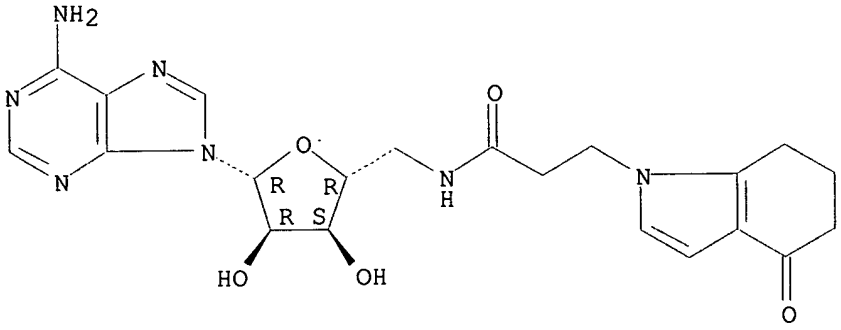
agents)
RN 366454-42-4 HCAPLUS
CN Adenosine, 5'-deoxy-2',3'-O-(1-methylethylidene)-5'-[[1-oxo-3-(4,5,6,7-tetrahydro-4-oxo-1H-indol-1-yl)propyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

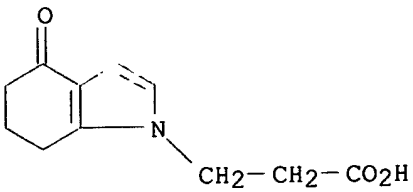


RN 366454-43-5 HCAPLUS
CN Adenosine, 5'-deoxy-5'-[[1-oxo-3-(4,5,6,7-tetrahydro-4-oxo-1H-indol-1-yl)propyl]amino]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 366454-45-7 HCAPLUS
CN 1H-Indole-1-propanoic acid, 4,5,6,7-tetrahydro-4-oxo- (9CI) (CA INDEX NAME)

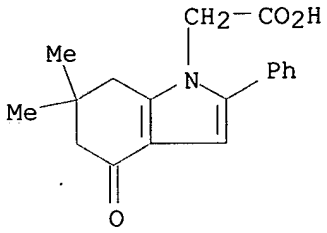


=> d ibib abs hitstr 2

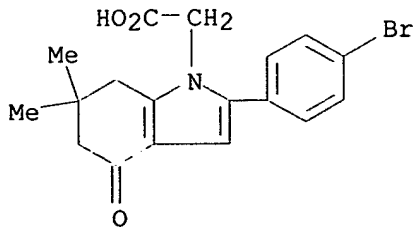
L18 ANSWER 2 OF 5 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2000:878646 HCAPLUS
DOCUMENT NUMBER: 134:178428
TITLE: Synthesis of 4,5,6,7-tetrahydroindole derivatives
AUTHOR(S): Zav'yalov, S. I.; Dorofeeva, O. V.; Rumyantseva, E. E.; Kulikova, L. B.; Ezhova, G. I.; Kravchenko, N. E.; Zavozin, A. G.
CORPORATE SOURCE: Zelinsky Institute of Organic Chemistry, Russian Academy of Sciences, Moscow, Russia
SOURCE: Pharm. Chem. J. (2000), 34(3), 130-131
CODEN: PCJOAU; ISSN: 0091-150X
PUBLISHER: Consultants Bureau
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The condensation of 3-hydroxy-5,5-dimethyl-2-(2-oxo-2-phenylethyl)-2-cyclohexen-1-one with glycine gave 4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indole-1-acetic acid. The condensation of the same starting material with 4-aminobenzenesulfonamide gave (4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl-1H-indol-1-yl)benzenesulfonamide. The cyclocondensation of glycine with phthalic acid gave N-(phthaloyl)glycine.

IT **121626-22-0P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4,5,6,7-tetrahydro-4-oxoindole derivs.)
RN 121626-22-0 HCAPLUS
CN 1H-Indole-1-acetic acid, 4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)




IT **326809-42-1P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 4,5,6,7-tetrahydro-4-oxoindole derivs.)
RN 326809-42-1 HCAPLUS
CN 1H-Indole-1-acetic acid, 2-(4-bromophenyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo- (9CI) (CA INDEX NAME)




REFERENCE COUNT: 6

REFERENCE(S):

(1) Anon; 1995, 21, HCAPLUS
(2) Dagher, C; J Het Chem 1982, V19(3), P645 HCAPLUS
(3) Nagarajan, K; J Med Chem 1976, V19(4), P508 HCAPLUS
(5) Ramadas, S; Indian J Chem 1979, V17B(3), P195 HCAPLUS
(6) Zav'Yalov, S; Khim-Farm Zh 1998, V32(3), P41 HCAPLUS

ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d ibib abs hitstr 3

L18 ANSWER 3 OF 5 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:479331 HCAPLUS
DOCUMENT NUMBER: 127:99527
TITLE: Oxidative hair dye compositions containing n-substituted 4-hydroxy indoline derivatives
INVENTOR(S): Terranova, Eric; Fadli, Aziz; Lagrange, Alain
PATENT ASSIGNEE(S): Oreal S. A., Fr.
SOURCE: Eur. Pat. Appl., 19 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 780118 | A1 | 19970625 | EP 1996-402297 | 19961029 |
| ~~EP 780118~~ | ~~B1~~ | ~~19971229~~ | | |
| R: DE, ES, FR, GB, IT | | | | |
| FR 2742047 | A1 | 19970613 | FR 1995-14372 | 19951206 |
| FR 2742047 | B1 | 19980116 | | |
| CN 1189820 | A | 19980805 | CN 1996-195180 | 19960626 |
| ES 2113769 | T3 | 19980501 | ES 1996-402297 | 19961029 |
| JP 09183716 | A2 | 19970715 | JP 1996-325758 | 19961205 |
| JP 2996625 | B2 | 20000111 | | |
| US 5755829 | A | 19980526 | US 1996-761756 | 19961205 |
| US 6002018 | A | 19991214 | US 1998-14622 | 19980128 |

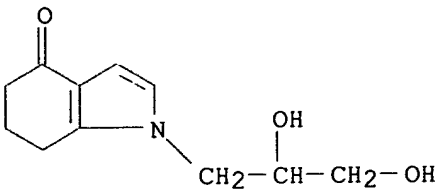
PRIORITY APPLN. INFO.: FR 1995-14372 A 19951206
US 1996-761756 A3 19961205

OTHER SOURCE(S): MARPAT 127:99527

AB Oxidative hair dye compns. contain n-substituted 4-hydroxy indoline derivs. (Markush structure given). A soln. of 8.85 g 4-hydroxy-1-N-(.beta.-hydroxyethyl)indole (prepn. given) in 40 mL of acetic acid was stirred with 1.9 g of sodium cyanoborohydride at 30.degree. for 30 min, then the reaction mixt. was poured into 200 g water at pH = 7.5 and the ppt. thus obtained was filtered and dried to obtain 7.75 g 4-hydroxy-1-N-(.beta.-hydroxyethyl)indoline (I). A hair dye prepn. contained I 0.895, paraphenylenediamine 0.540, water and excipient q.s. 100 g. The hair dye prepn. is mixed with equal amt. of 20 vol. hydrogen peroxide and applied to the hair.

IT **186963-75-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(oxidative hair dye compns. contg. n-substituted 4-hydroxy indoline derivs.)

RN 186963-75-7 HCAPLUS
CN 4H-Indol-4-one, 1-(2,3-dihydroxypropyl)-1,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



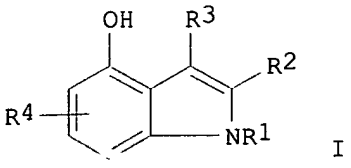
=> d ibib abs hitstr 4

L18 ANSWER 4 OF 5 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1997:168570 HCAPLUS
DOCUMENT NUMBER: 126:185981
TITLE: Preparation of N-hydroxyalkyl-4-hydroxyindoles as oxidative hair dye components
INVENTOR(S): Terranova, Eric; Fadli, Aziz; Lagrange, Alain
PATENT ASSIGNEE(S): L'Oreal S. A., Fr.
SOURCE: Eur. Pat. Appl., 20 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| EP 754681 | A1 | 19970122 | EP 1996-401413 | 19960626 |
| EP 754681 | B1 | 19980304 | | |
| R: AT, BE, CH, DE, ES, FR, GB, IT, LI, NL, SE | | | | |
| FR 2736640 | A1 | 19970117 | FR 1995-8566 | 19950713 |
| FR 2736640 | B1 | 19970822 | | |
| CA 2222312 | AA | 19970130 | CA 1996-2222312 | 19960626 |
| WO 9703049 | A1 | 19970130 | WO 1996-FR996 | 19960626 |
| W: BR, CA, CN, HU, JP, KR, MX, PL, RU | | | | |
| AT 163640 | E | 19980315 | AT 1996-401413 | 19960626 |
| ES 2117474 | T3 | 19980801 | ES 1996-401413 | 19960626 |
| JP 10512282 | T2 | 19981124 | JP 1996-505543 | 19960626 |
| BR 9609329 | A | 19990525 | BR 1996-9329 | 19960626 |
| JP 3095419 | B2 | 20001003 | JP 1997-505543 | 19960626 |
| US 5704948 | A | 19980106 | US 1996-678981 | 19960712 |
| US 5869692 | A | 19990209 | US 1997-932468 | 19970918 |

PRIORITY APPLN. INFO.: FR 1995-8566 A 19950713
WO 1996-FR996 W 19960626
US 1996-678981 A3 19960712

OTHER SOURCE(S): MARPAT 126:185981
GI



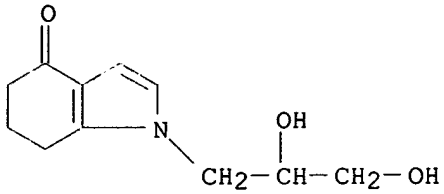
I

AB Title compds. (I; R1 = hydroxyalkyl, alkoxyalkyl, aminoalkyl, etc.; R2,R3 = H, halo, alkyl, CO2H, alkoxycarbonyl, CHO; R4 = H, halo, alkyl, alkoxy, etc.) were prepd. Thus, 4-oxo-4,5,6,7-tetrahydrobenzofuran was cyclocondensed with H2NCH2CH2OH and the product dehydrogenated to give I (R1 = CH2CH2OH, R2-R4 = H). Data for activity of I were given.

IT **186963-75-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of N-hydroxyalkyl-4-hydroxyindoles as oxidative hair dye components)

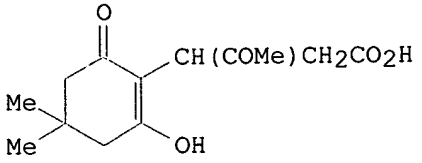
RN 186963-75-7 HCAPLUS

CN 4H-Indol-4-one, 1-(2,3-dihydroxypropyl)-1,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)

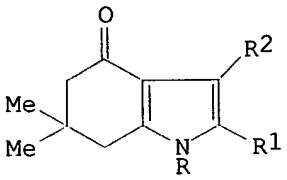


=> d ibib abs hitstr 5

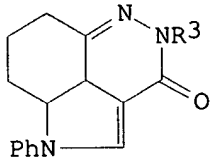
L18 ANSWER 5 OF 5 HCAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1989:457475 HCAPLUS
DOCUMENT NUMBER: 111:57475
TITLE: Synthesis and oral hypoglycemic properties of 4-oxo-4,5,6,7-tetrahydroindole-3-acetic acids
AUTHOR(S): Nagarajan, Kuppuswamy; Talwalker, Purnachand K.; Goud, A. Nagana; Shah, Rashmi K.; Shenoy, Sharada J.; Desai, Narasimha D.
CORPORATE SOURCE: Res. Cent., Hindustan Ciba-Geigy Ltd., Bombay, 400 063, India
SOURCE: Indian J. Chem., Sect. B (1988), 27B(12), 1113-23
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 111:57475
GI




I




II

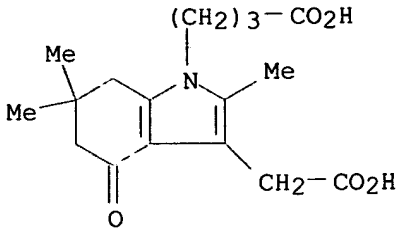



III

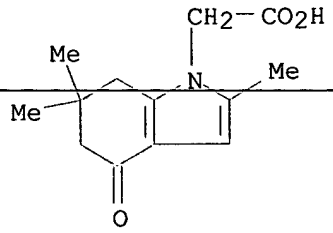
AB Condensation of .beta.-acetyl-2-hydroxy-4,4-dimethyl-6-oxo-1-cyclohexene-1-propionic acid (I) with NH4OAc and primary amines affords tetrahydroindole-3-acetic acids II (R = alkyl, aryl, aralkyl; R1 = Me, R2 = CH2CO2H), while another dimedone deriv. serves as starting material for isomeric indole-2-acetic acids II (R = alkyl, R1 = CH2CO2H, R2 = H). 4-Oxotetrahydroindole-2-carboxylic acids II (R = Ph, CH2CHMe2, R1 = CO2H, R2 = Me) and 3-carboxylic acids II (R = Ph, 4-FC6H4, R1 = H, R2 = CO2H), are obtained from the corresponding benzofurans. Some of the 3-carboxylic acid esters are transformed to tricyclic compds. like III [R3 = H, Me2N(CH2)3, Et2NCH2CH2]. Good oral hypoglycemic activity in normal rats is shown generally by the 3-acetic acids, among which C 8778-GO and C 9001-GO (II, R = Bu, CH2CHMe2, R1 = Me, R2 = CH2CO2H) are most active. These two acids are also active in streptozotocin-induced diabetic rats and have been investigated extensively. Structure-activity relationships are discussed.

IT **121625-91-0P 121626-21-9P 121626-22-0P 121626-23-1P 121626-54-8P**
RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and hypoglycemic activity of)

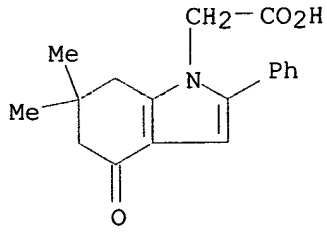
RN 121625-91-0 HCAPLUS
CN 1H-Indole-1-butanoic acid, 3-(carboxymethyl)-4,5,6,7-tetrahydro-2,6,6-trimethyl-4-oxo- (9CI) (CA INDEX NAME)



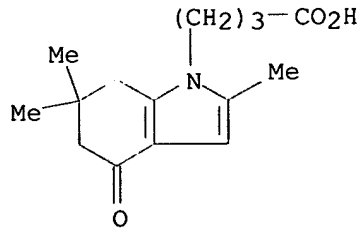
RN 121626-21-9 HCAPLUS
CN 1H-Indole-1-acetic acid, 4,5,6,7-tetrahydro-2,6,6-trimethyl-4-oxo- (9CI) (CA INDEX NAME)



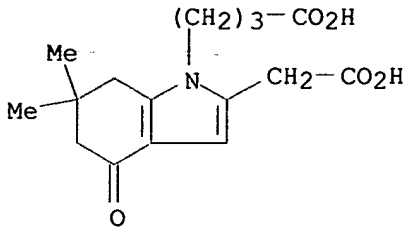
RN 121626-22-0 HCAPLUS
CN 1H-Indole-1-acetic acid, 4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo-2-phenyl- (9CI) (CA INDEX NAME)



RN 121626-23-1 HCAPLUS
CN 1H-Indole-1-butanoic acid, 4,5,6,7-tetrahydro-2,6,6-trimethyl-4-oxo- (9CI) (CA INDEX NAME)



RN 121626-54-8 HCAPLUS
CN 1H-Indole-1-butanoic acid, 2-(carboxymethyl)-4,5,6,7-tetrahydro-6,6-dimethyl-4-oxo- (9CI) (CA INDEX NAME)


(CH2)3—CO2H
Me
N
CH2—CO2H
Me
O